

AMENDMENTS TO THE CLAIMS

Kindly replace claims 1-15 with claims 16-29.

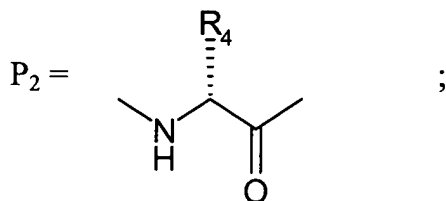
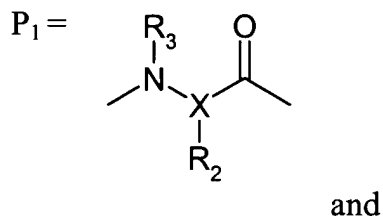
1-15. (Cancelled)

16. (New) A compound of the formula I



where

A is P_2-P_1 with



R_1 is H or $-(\text{CH}_2)_a\text{COOR}_6$ with $a = 0, 1, 2, 3, 4$, or 5 , preferably with $a = 0, 1$, or 2 , where R_6 is a branched or unbranched alkyl radical having preferably 1 to 6 C atoms, in particular 1 to 3 C atoms, especially ethyl;

R_2 is $-(\text{CH}_2)_c\text{COOR}_8$ with $c = 1, 2, 3$, or 4 , where R_8 is H or a branched or unbranched alkyl radical having preferably 1 to 6 C atoms, in particular 1 to 3 C atoms, especially

ethyl, or

$-(CH_2)_e$ -guanidino, $-(CH_2)_e$ -imidazole, or $-(CH_2)_eNHR_{10}$ with $e = 1, 2, 3, 4$, or 5 , where R_{10} is H, a branched or unbranched alkyl radical having 1-16, in particular 1-8, especially 1-3, C atoms or a substituted or unsubstituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical, where the alkyl radical preferably has 1 to 16, in particular 1 to 8, especially 1 to 3, C atoms, and the aryl or heteroaryl radical preferably has 4 to 14, in particular 6 to 10, especially 6, C atoms and preferably 1 to 3 N as heteroatom; and

R_4 is a branched or unbranched alkyl radical having 1 to 8, preferably 1 to 3, C atoms, $-(CH_2)_fOR_{11}$, $-(CH_2)_fSR_{11}$, $-(CH_2)_f$ -guanidino, $-(CH_2)_f$ -imidazole, $-(CH_2)_fR_{11}$ or $-(CH_2)_fNHR_{11}$ with $f = 1, 2, 3, 4$, or 5 , preferably 1 or 2, in particular 1, where R_{11} is a branched or unbranched alkyl radical having 1 to 16, preferably 1 to 8, in particular 1-4 C atoms, especially tbutyl or a substituted or unsubstituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical, where the alkyl radical preferably has 1 to 16, in particular 1 to 8, especially 1 to 3, C atoms, and the aryl or heteroaryl radical preferably has 4 to 14, in particular 6 to 10, especially 6, C atoms and preferably 1 to 3 N as heteroatom; where P_2 in the structure A of the formula I is in the D configuration;

or

R_2 is an H; and

R_4 is $-(CH_2)_f$ -guanidino, $-(CH_2)_f$ -imidazole, or $-(CH_2)_fR_{11}$ with $f = 1, 2, 3, 4$, or 5 , preferably 1 or 2, in particular 1, where R_{11} is a substituted or unsubstituted aryl or heteroaryl radical which preferably has 4 to 14, in particular 6 to 10, especially 6, C atoms and preferably 1 to 3 N as heteroatom; where P_2 in the structure A of the formula I is in the D configuration;

or

R_2 is a branched or unbranched alkyl radical having 1 to 8 C atoms, preferably having 1 to 3 C atoms, or $-(CH_2)_dOR_9$ with $d = 1, 2, 3$, or 4 , where R_9 is H, or $-(CH_2)_eOR_{10}$ or $-(CH_2)_eSR_{10}$, with $e = 1, 2, 3, 4$, where R_9 is H, or $-(CH_2)_eOR_{10}$ or

$(\text{CH}_2)_e\text{SR}_{10}$, with $e = 1, 2, 3, 4$, or 5 , where R_{10} is H, a branched or unbranched alkyl radical having 1-16, in particular 1-8, especially 1-3, C atoms or a substituted or unsubstituted aryl, heteroaryl, aralkyl or heteroaralkyl radical, where the alkyl radical preferably has 1 to 16, in particular 1 to 8, especially 1 to 3, C atoms, and the aryl or heteroaryl radical preferably has 4 to 14, in particular 6 to 10, especially 6, C atoms and preferably 1 to 3 N as heteroatom; and

R_4 is $-(\text{CH}_2)_f\text{guanidino}$, $-(\text{CH}_2)_f\text{imidazole}$ or $-(\text{CH}_2)_f\text{R}_{11}$ with $f = 1, 2, 3, 4$, or 5 , preferably 1 or 2, in particular 1, where R_{11} is a substituted or unsubstituted or heteroaryl radical which preferably has 4 to 14, in particular 6 to 10, especially 6, C atoms and preferably 1 to 3 N as heteroatom; where P2 in the structure A of the formula I is in the D configuration;

R_3 is H or $-(\text{CH}_2)_b\text{R}_7$ with $b = 1, 2, 3, 4, 5, 6, 7$, or 8 , preferably with $b = 2$ or 3 , where R_7 is H, a branched or unbranched alkyl radical having 1 to 10 C atoms, preferably having 1 to 3 C atoms, or a charged radical, preferably a $-(\text{CH}_2)_j\text{COOR}_{13}$, $-(\text{CH}_2)_j\text{SO}_2\text{R}_{13}$, $-(\text{CH}_2)_j\text{NH}_2$, $-(\text{CH}_2)_j\text{-amidino}$, $-(\text{CH}_2)_j\text{-hydroxyamidino}$, or $-(\text{CH}_2)_j\text{-guanidino}$ group with $j = 0, 1$ or 2 , where R_{13} is H or an alkyl radical having preferably 1 to 6 C atoms, in particular 1 to 4, especially ethyl;

R_5 is $-\text{SO}_2\text{R}_{12}$, where R_{12} is a substituted or unsubstituted aralkyl or heteroalkyl radical, preferably benzyl, where R_5 may be modified with a charged or uncharged group, preferably a $-(\text{CH}_2)_j\text{COOR}_{13}$, $-(\text{CH}_2)_j\text{SO}_2\text{R}_{13}$, $-(\text{CH}_2)_j\text{NH}_2$, $-(\text{CH}_2)_j\text{-amidino}$, $-(\text{CH}_2)_j\text{-hydroxyamidino}$, or $-(\text{CH}_2)_j\text{-guanidino}$ group with $j = 0, 1$, or 2 , where R_{13} is H or an alkyl radical having preferably 1 to 6 C atoms, in particular 1 to 4, especially ethyl;

U is a phenyl or cyclohexyl radical;

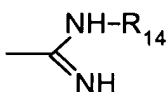
a heterophenyl or heterocyclohexyl radical having preferably at least one N, S, or O as heteroatom, in particular pyridine, piperidine, or pyrimidine, or is a thiophene radical;

V is $(\text{CH}_2)_n$ with $n = 0, 1, 2$ or 3 , preferably 0 ;

X is N or CH, preferably CH;

Y is N or $(\text{CH})_m$ with $m = 0$ or 1 , preferably CH;

Z occurs in the 3 or 4 position and is an aminomethyl, a guanidino function, or an amidino group,



where R_{14} is H, OH, NH_2 , $-\text{COR}_{15}$ or $-\text{COOR}_{15}$, where R_{15} is a branched or unbranched alkyl radical having 1 to 16, preferably 1 to 8, in particular 1 to 4, especially 1 to 2, C atoms or a substituted or unsubstituted aryl or heteroaryl, aralkyl or heteroaralkyl radical, where the alkyl radical preferably has 1 to 16, in particular 1 to 8, especially 1 to 4 and particularly preferably 1 to 2, C atoms and the aryl or heteroaryl radical preferably has 4 to 14, in particular 6 to 10, especially 6, C atoms and preferably 1 to 3 N as heteroatom;

characterized in that one or more charged radicals preferably derived from $-\text{COOH}$, $-\text{CH}(\text{COOH})_2$, $-\text{SO}_2\text{H}$, NH_2 , an amidino, hydroxyamidino, amidrazono, or guanidino group are present in the radicals R_1 , R_2 , R_3 or R_5 ;

or a compound of the formula I in the form of a prodrug or in the form of its salt.

17. (New) The compound as claimed in claim 16, where U is substituted at 1, 2, or 3 positions preferably by a halogen, in particular fluorine or chlorine, or a methyl, ethyl, propyl, methoxy, ethoxy, or propoxy radical.

18. (New) The compound as claimed in claim 16, where a carboxyl group is present protected as ester, preferably as ethyl ester, and is converted into a carboxyl group in the manner of a prodrug only after intake in the body.

19. (New) The compound as claimed in claim 16, where R_9 in this case is an alkylcarbonyl, aralkylcarbonyl, alkyloxycarbonyl, or aralkyloxycarbonyl radical, where the alkyl radical preferably has 1 to 6, in particular 1 to 4, C atoms and the aryl radical preferably has 5 to 8, in particular 6, C atoms; and where R_9 is converted into a carboxyl group in the manner of a prodrug only after intake in the body.

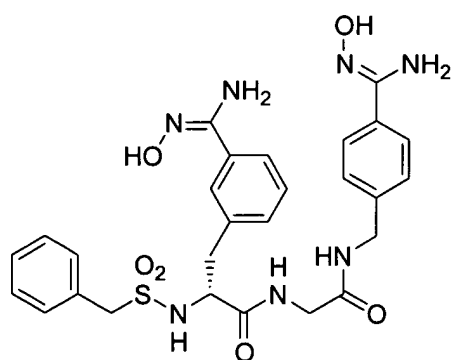
20. (New) The compound as claimed in claim 16, characterized in that P_2 in structure A of the formula I is derived from one of the following amino acids in the D configuration: D-2,3-diaminopropionic acid, D-2,4-diaminobutyric acid, D-ornithine, D-citrulline, D-homocitrulline, D-norcitrulline, D-arginine, D-homoarginine, D-norarginine, D-4-guanidinophenylalanine, D-4-guanidinophenylhomoalanine, D-4-guanidinophenylglycine, D-3-guanidinophenylalanine, D-3-guanidinophenylhomoalanine, D-3-guanidinophenylglycine, D-4-amidinophenylalanine, D-4-amidinophenylhomoalanine, D-4-amidinophenylglycine, D-3-amidinophenylalanine, D-3-amidinophenylhomoalanine, D-3-amidinophenylglycine, D-4-aminomethylphenylalanine, D-4-aminomethylphenylhomoalanine, D-4-aminomethylphenylglycine, D-3-aminomethylphenylalanine, D-3-aminomethylphenylhomoalanine, D-3-aminomethylphenylglycine, D-4-guanidinomethylphenylalanine, D-4-guanidinomethylphenylhomoalanine, D-4-guanidinomethylphenylglycine, D-3-guanidinomethylphenylalanine, D-3-guanidinomethylphenylhomoalanine, D-3-guanidinomethylphenylglycine, D-4-piperidinylalanine, D-4-piperidinylhomoalanine, D-4-piperidinylglycine, D-4-N-(amidino)piperidinylalanine, D-4-N-(amidino)piperidinylhomoalanine, D-4-N-(amidino)piperidinylglycine, D-3-piperidinylalanine, D-3-piperidinylhomoalanine, D-3-piperidinylglycine, D-3-amidinopiperidinylalanine, D-3-amidinopiperidinylhomoalanine,

D-3-amidinopiperidinylglycine, D-4-aminocyclohexylalanine in cis or trans, D-4-aminocyclohexylhomoalanine in cis or trans, D-4-aminocyclohexylglycine in cis or trans, n-butylamidinoglycine, n-pentylamidinoglycine, n-propylamidinoglycine, D-alanine(3-(1-N-piperazinyl), or D-homoalanine(3-(1-N-piperazinyl).

21. (New) The compound as claimed in claim 16, characterized in that P₂ in the structure A of the formula I is derived from one of the following amino acids in the D configuration: D-canavanine, D-homocanavanine, D-norcanavanine, 2-amino-4-amidinohydrazonobutyric acid, 2-amino-3-amidinohydrazonopropionic acid, 2-amino-5-amidinohydrazonopentanoic acid, 2-amino-4-(pyridin-4-ylamino)butyric acid, 2-amino-4-(pyridin-4-ylamino)propionic acid, 2-amino-4-(pyridin-4-ylamino)pentanoic acid, 4-imidazolylpropargylglycine, D-histidine, D-homohistidine, D-histidine-(1-methyl), D-homohistidine-(1-methyl), D-histidine-(3-methyl), D-homohistidine-(3-methyl), D-alanine(4-[5-2(-amino)imidazolyl], D-homoalanine(4-[5-2(-amino)imidazolyl], D-glycine(4-[5-2(-amino)imidazolyl], D-alanine(4-pyridyl), D-homoalanine(4-pyridyl), D-glycine(4-pyridyl), D-alanine(3-pyridyl), D-homoalanine(3-pyridyl), D-glycine(3-pyridyl), D-alanine(2-pyridyl), D-homoalanine(2-pyridyl), D-glycine(2-pyridyl), D-alanine(3-(2-pyrimidinyl), D-homoalanine(3-(2-pyrimidinyl), D-alanine(3-(5-pyrimidinyl), D-homoalanine(3-(5-pyrimidinyl), D-2-amino-3-(2-aminopyrimidin-5-yl)propionic acid, D-2-amino-4-(2-amino-pyrimidin-5-yl)butyric acid, D-alanine(3-(2-benzimidazolyl)), D-homoalanine(3-(2-benzimidazolyl)), D-alanine(3-(3-quinoliny), D-homoalanine(3-(3-quinoliny), D-tryptophan, D-homotryptophan, D-tryptophan substituted by aminoalkyl groups on the indole ring, D-homotryptophan substituted by aminoalkyl groups on the indole ring, D-2-amino-3-(6-aminopyridin-3-yl)propionic acid, D-2-amino-4-(6-aminopyridin-3-yl)butyric acid, D-2-amino-3-(6-amino-2-methylpyridin-3-yl)propionic acid, D-2-amino-4-(6-amino-2-methylpyridin-3-yl)butyric acid, D-2-amino-3-(6-amino-2,4-dimethylpyridin-3-yl)propionic acid, D-2-amino-4-(6-amino-2,4-dimethylpyridin-3-yl)butyric acid, D-4-hydroxyamidinophenylalanine, D-4-hydroxyamidinophenylhomoalanine, D-4-hydroxyamidinophenylglycine, D-3-

hydroxyamidinophenylalanine, D-3-hydroxyamidinophenylhomoalanine, D-3-hydroxyamidinophenylglycine, D-4-aminophenylalanine, D-4-aminophenylhomoalanine, D-4-aminophenylglycine, D-3-aminophenylalanine, D-3-aminophenylhomoalanine, and D-3-aminophenylglycine.

22. (New) A compound of the formula I, characterized in that the compound has the following structure:



where the hydroxyamidino groups present in the structure are converted into the analogous amidino groups in the manner of a prodrug only after intake in the body, resulting in the inhibitor structure with inhibitory activity.

23. (New) The compound as claimed in claim 16, characterized in that the substituent on the substituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical is a halogen, preferably fluorine, chlorine or bromine, in particular fluorine or chlorine.

24. (New) The compound as claimed in claim 16, characterized in that the compounds are preferably in the form of salts, preferably with mineral acids, preferably as hydrochlorides, or preferably as salts with suitable organic acids.

25. (New) The compound as claimed in claim 24, characterized in that preferred salts

of mineral acids are also sulfates, and suitable organic acids are, for example, acetic acid, formic acid, methanesulfonic acid, succinic acid, malic acid, or trifluoroacetic acid, with preferred salts of organic acids being acetates.

26. (New) A method for preparing a compound as claimed in claim 16, the method comprising sequentially coupling the appropriate amino acids onto a 4-acetyloxamidino-benzylamine, with the N-terminal amino acid either already carrying the R₅ radical or the latter subsequently being linked thereto.

27. (New) A medicament comprising a compound as claimed in claim 16 and pharmaceutically suitable excipients and/or additives.

28. (New) The medicament as claimed in claim 27, where the medicament is employed in the form of a tablet, a coated tablet, a capsule, a pellet, a suppository, a solution, in particular a solution for injection or infusion, eyedrops, nosedrops, eardrops, a syrup, a capsule, an emulsion or suspension, a pessary, stick, aerosol, dusting powder, a paste, cream, or ointment.

29. (New) A method of treating or preventing a cardiovascular disorder or a thromboembolic event, said method comprising administering to a patient a compound as claimed in claim 16, in particular in oral, subcutaneous, intravenous or transdermal form.